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## SEARCH REQUEST FORM

### Scientific and Technical Information Center

Requester's full Name: Everett White Examiner #: 67057 Date: 3/8/2002  
Art Unit: 1623 Phone Number 308-4621 Serial Number: 09/623,364  
Mail Box: CM1-8B19 and Bldg/Room Location: CM1-7B13 Results Format Preferred (circle): PAPER DISK E-MAIL

**If more than one search is submitted, please prioritize searches in order of need.**

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Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be search Include the elected species or structures, key words, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

\*-See Bib data Sheet

Title of Invention: \* \_\_\_\_\_

Inventors (please provide full names): \* \_\_\_\_\_

Earliest priority Filing Date: \* \_\_\_\_\_

*\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

Please search the formula of the aminoxy-cyclodextrin derivatives of Claims 1-7, the preparation thereof in Claim 8, the oximes of Claim 10, and derivatives of nucleotide or nucleoside pyrimidines or purines with the aminoxy-cyclodextrins of Claim 11. A copy of the claims and the abstract is provided.

The Bib Data Sheet which discloses the inventor names, title of the invention, and the earliest priority filing date is also provided.

Point of Contact:  
Alexandra Wacławiw  
Technical Info. Specialist  
CM1 6A02 Tel: 308-4491

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#### STAFF USE ONLY

	Type of Search	Vendors and cost where applicable
Searcher: _____	NA Sequence (#) _____	STN _____
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Point of Contact: Searcher: <u>Alexandra Wacławiw</u>	Structure (#) _____	Questel/Orbit _____
Technical Info. Specialist Date: <u>3/8/2002</u>	Bibliographic _____	Dr. Link _____
CM1 6A02 Tel: 308-4491	Litigation _____	Lexis/Nexis _____
Date Completed: _____	Fulltext _____	Sequence Systems _____
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PTO-1590 (1-2000)

$$5 \quad \text{CD} - (\text{X} - \text{Y} - \text{ONH}_2)_n, \quad (1)$$

CD is a mono- or polydeoxy  $\alpha$ -,  $\beta$ - or  $\gamma$ -cyclodextrin, carrying in its 6-, 3- and/or 2-position the aminooxy function containing group (X-Y-ONH<sub>2</sub>), and optionally carrying further substituents different from (X-Y-ONH<sub>2</sub>) in their 6-, 3- and/or 2-positions, and wherein Y is a linker group between the aminoxy group and the mono- or polydeoxy-CD-group,

and n is  $\geq 1$ , but  $\leq 24$ , 21 and 18 for  $\alpha$ -,  $\beta$ - or  $\gamma$ -cyclodextrin, respectively, as well as the aminoxy protected derivatives thereof, especially ethoxy-ethylidene protected aminoxy and acetone oxime derivatives thereof.

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4. A derivative according to ~~any one of~~ claims 1 and 3, wherein Y is a linear or branched alkylene, alkenylene with one or more double bonds which may be either isolated or conjugated, alkynylene with one or more triple bonds which  
35 may be either isolated or conjugated, or arylene or arylalkylene fragments where aryl may be substituted or not substituted, whereby the alkylene, alkenylene and alkynylene-

ne fragments may be linear or branched and preferably contain 2-12 C-atoms in the chain, and one or more of the chain members (methylene groups) may be replaced by -NH-, -O-, -S-, -S-S-, -C(O)NH-, -C(O)O-, -OP(O)(OH)O-, -S(O)-, SO<sub>2</sub>-, -CHR-, where R is preferably alkyl, aryl, -OR', -NH<sub>2</sub>, -NHR', -NR'<sub>2</sub>, -OH, -COOH, or -ONH<sub>2</sub> groups and where R' is alkyl, aryl, or acyl.

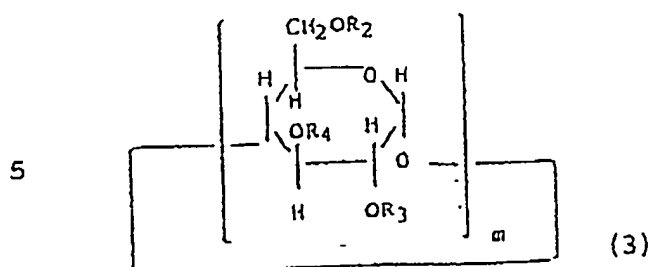
5. A derivative according to any one of the claims 1, 3 and 4, wherein X is -O-, -S-, -NH-, -NR"-, -OCO-, -NH-O-, =NO-, -NHC(O)-, -OP(O)(OH)-, -R"C=NO-, where R" is linear or branched lower alkyl.

6. A derivative according to the claims 4 or 5, wherein Y is alkylene containing 2-12 C-atoms, wherein one or more of the chain members may be replaced by -NH-, -O-, -S-, -C(O)NH-, -C(O)O-, or CHR<sub>1</sub> wherein R<sub>1</sub> is methyl, ethyl or propyl and X is -O-, -S-, -NH-, -OC(O)-, and -NH-C(O)-.

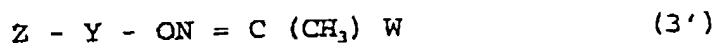
7. Any compound according to claim 1, wherein one or more of the hydroxyl groups at 6-, 3-, and/or 2- position(s) are substituted with a group, for example, H<sub>2</sub>N-, HS-, -COOH, alkoxy-, such as C<sub>1</sub> - C<sub>6</sub>- alkoxy-, aryloxy-, wherein aryl is preferably phenyl, benzyl, or tolyl, or with acyloxy group, wherein acyl preferably originates from C<sub>1</sub> - C<sub>6</sub>- carboxyl, or benzoic acids, and wherein alkyl-, aryl-, and acyloxy- can additionally contain functional groups like H<sub>2</sub>N-, HS-, -COOH in their structure, in side chain or in aromatic ring.

8. Method according to claim 1 or 3 for preparing compound of formula 1, wherein X is O, and wherein:

a) cyclodextrin of formula (3)



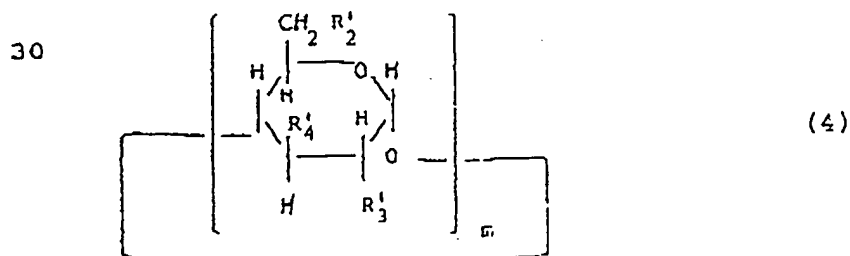
including  $R_2$ ,  $R_3$ , and  $R_4$  are hydroxyl groups or substituents  
 10 defined in claim 7, exemplified by unsubstituted alkoxy,  
 like  $C_1 - C_6$ - alkoxy or aryloxy like phenyl-, benzyl-,  
 tolyl-, or acyloxy, in which substituents' functional  
 groups, if they exist, are protected whenever necessary,  
 whereby at least one of the positions 6, 3, and/or 2 contain  
 15 hydroxyl group, preferably 6- hydroxy group, is alkylated  
 with a compound according to formula (3'):



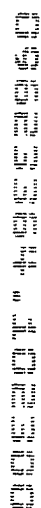
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wherein W means group  $-OC_2H_5$  or  $-CH_3$ , m and Y are as defined  
 in claims 1 or 3, and Z is a reactive group, preferably Cl,  
 Br, I, tosyl, mesyl or epoxy group, and optionally  
 25 protecting group(s) is/are removed, or

b) a cyclodextrin derivative of formula (4) is alkylated



# Introduction



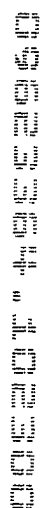
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group(s) are removed, or  
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d) CD-derivative of formula (5), which contains one or more of keto or aldehyde groups, possibly bound through a linker group, is allowed to react with bisaminooxy alkanes of formula (5')

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wherein t is 2-12, and wherein one of the methylene groups  
15 can be substituted with oxygen or sulfur atom, or wherein -NH- or -S-S- groups, and a protecting group is removed if necessary.

20 9. The use of any of the CD-derivatives of claim 1 for preparation of oximes with ketones or aldehydes, for preparation of aminooxy derivatives of nucleotide- and nucleoside pyrimidines or purines, or for preparation of inclusion complexes with guest molecules by said CD-  
25 derivatives.

10. Oximes of any one of the aminooxy-CDs of claim 1  
with a synthetic or natural aldehydes or ketones.

30 11. Derivatives of nucleotide or nucleoside pyrimidines or purines with aminooxy-CDs, wherein aminooxy group is linked to heterocyclic ring, preferably through pyrimidine C-4 and purine C-6, and wherein pyrimidine and purine are preferably cytosine or adenine as such or as their derivatives.

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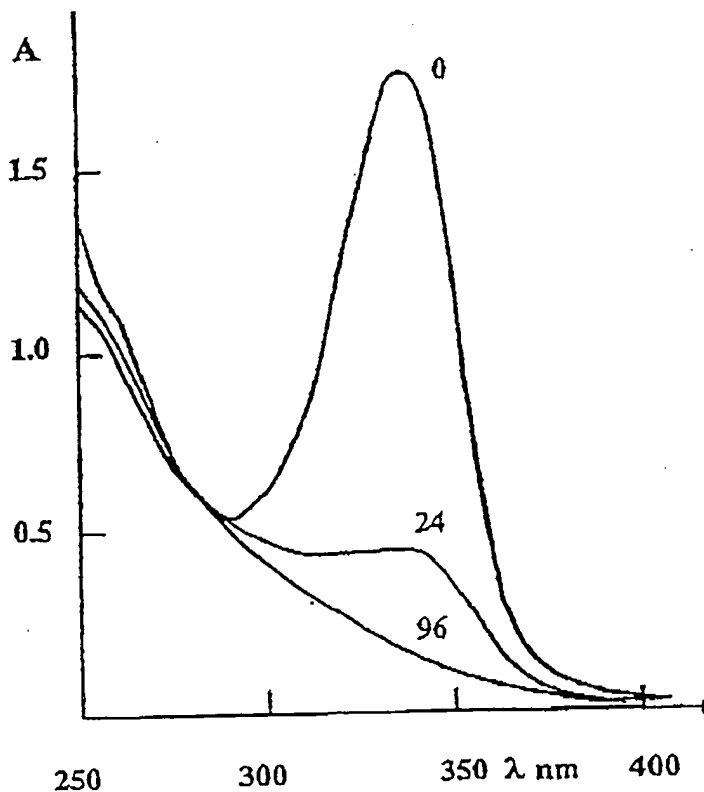
## INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

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(54) Title: NOVEL DERIVATIVES OF CYCLODEXTRINS

## (57) Abstract

The present invention is directed to novel aminoxy-cyclodextrin derivatives of the formula (1):  $CD - (X - Y - ONH_2)_n$ , wherein CD is a mono- or polydeoxy  $\alpha$ -,  $\beta$ -, or  $\gamma$ -cyclodextrin, carrying in its 6-, 3- and/or 2-position a group containing the aminoxy group, and optionally carrying substituents different from  $(X - Y - ONH_2)$ , Y is a linker group between the aminoxy group and the mono- or polydeoxy-CD group, X is a functional group or an atom necessary to connect the linker Y and the deoxy CD group, or Y is a direct bond when X is a direct bond, and n is  $\geq 1$ , but  $\leq 24$ , 21 and 18 for  $\alpha$ -,  $\beta$ - or  $\gamma$ -cyclodextrin, respectively, the protected aminoxy derivatives thereof, as well the methods for their preparation and use.





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**\*\* CONTINUING DATA \*\*\*\*\***

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FINLAND 980489 03/04/1998

IF REQUIRED, FOREIGN FILING LICENSE GRANTED\*\* SMALL ENTITY \*\*

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## TITLE

Novel derivatives of cyclodextrins

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